

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
24 July 2003 (24.07.2003)

PCT

(10) International Publication Number  
**WO 03/059885 A1**

(51) International Patent Classification<sup>7</sup>: C07D 219/08,  
219/10, A61K 31/473, A61P 35/00

(74) Agents: BRASNETT, Adrian, H. et al.; Mewburn Ellis, York House, 23 Kingsway, London, Greater London WC2B 6HP (GB).

(21) International Application Number: PCT/GB03/00102

(22) International Filing Date: 14 January 2003 (14.01.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/347,899 15 January 2002 (15.01.2002) US

(71) Applicant (for all designated States except US): CANCER RESEARCH TECHNOLOGY LIMITED [GB/GB]; 61 Lincoln's Inn Fields, London, Greater London WC2A 3PX (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): NEIDLE, Stephen [GB/GB]; 3a Woodlands Road, Bushey, Hertfordshire WD23 2LS (GB). HARRISON, Richard, John [GB/GB]; 58 Blenheim Gardens, Reading, Berkshire RG1 5QG (GB). KELLAND, Lloyd, Royston [GB/GB]; 123 Hitchings Way, Reigate, Surrey RH2 8EP (GB). GOWAN, Sharon, Michele [GB/GB]; 26 Meadway, Tolworth, Surrey KT5 9RG (GB). READ, Martin, Anthony [GB/GB]; 11 Orchard Grove, Minster, Sheerness, Kent ME12 3PD (GB). RESZKA, Anthony [GB/GB]; 151 Victoria Road, Kilburn, London, Greater London NW6 6TE (GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Declaration under Rule 4.17:**

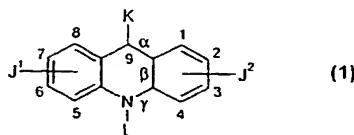
— of inventorship (Rule 4.17(iv)) for US only

**Published:**

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: THÉRAPEUTIC ACRIDONE AND ACRIDINE COMPOUNDS



(57) Abstract: This invention pertains to certain acridone and acridine compounds of the formula (1) which inhibit telomerase, regulate cell proliferation, etc., and/or treat cancer, proliferative conditions, etc.: wherein either: (a) K is =O, L is -H, alpha single bond, beta is a double bond, gamma is a single bond (acridones); or, (b) K is a 9-substituent, L is absent, alpha is a double bond, beta is a single bond, gamma is a double bond (acridines); and wherein: J<sup>1</sup> is a 2- or 3-substituent; J<sup>2</sup> is a 6- or 7-substituent; J<sup>1</sup> and J<sup>2</sup> are each a group of the formula -N(R<sup>N</sup>)-W, wherein: R<sup>N</sup> is a nitrogen substituent and is hydrogen, C<sub>1-7</sub>alkyl, C<sub>3-20</sub>heterocyclyl, or C<sub>5-20</sub>aryl, and is optionally substituted; and, W is C<sub>1-7</sub>alkyl, C<sub>3-20</sub>heterocyclyl, or C<sub>5-20</sub>aryl, and is optionally substituted; and, wherein, when K is a 9-substituent, K is a group of the formula -N(R<sup>N</sup>)-Q, wherein: R<sup>N</sup> is an amino substituent and is hydrogen, C<sub>1-7</sub>alkyl, C<sub>3-20</sub>heterocyclyl, or C<sub>5-20</sub>aryl; and, Q is C<sub>1-7</sub>alkyl, C<sub>3-20</sub>heterocyclyl, or C<sub>5-20</sub>aryl, and is optionally substituted; and pharmaceutically acceptable salts, esters, amides, solvates, hydrates, and protected forms thereof. The present invention also pertains to pharmaceutical compositions comprising such compounds, and the use of such compounds and compositions, both *in vitro* and *in vivo*, to inhibit telomerase, to regulate cell proliferation, etc., and/or in the treatment of cancer, proliferative conditions, etc.